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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

4	* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * *
	NEWS NEWS	1 2	NOV	21	Web Page for STN Seminar Schedule - N. America CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present
	NEWS	3	NOV	26	MARPAT enhanced with FSORT command
	NEWS	4	NOV		CHEMSAFE now available on STN Easy
	NEWS	5	NOV	26	Two new SET commands increase convenience of STN searching
	NEWS	6	DEC	01	ChemPort single article sales feature unavailable
	NEWS	7	DEC	12	GBFULL now offers single source for full-text coverage of complete UK patent families
	NEWS	8	DEC		Fifty-one pharmaceutical ingredients added to PS
	NEWS	9	JAN		The retention policy for unread STNmail messages will change in 2009 for STN-Columbus and STN-Tokyo
	NEWS	10	JAN	07	WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data
	NEWS		FEB	02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
	NEWS		FEB		GENBANK enhanced with SET PLURALS and SET SPELLING
	NEWS		FEB		Patent sequence location (PSL) data added to USGENE
	NEWS		FEB		COMPENDEX reloaded and enhanced
	NEWS		FEB		WTEXTILES reloaded and enhanced
	NEWS	16	FEB	19	New patent-examiner citations in 300,000 CA/CAplus patent records provide insights into related prior art
	NEWS	17	FEB	19	Increase the precision of your patent queries use terms from the IPC Thesaurus, Version 2009.01
	NEWS	18	FEB	23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
	NEWS	19	FEB	23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
	NEWS	20	FEB	23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
	NEWS	21	FEB		Three million new patent records blast AEROSPACE into STN patent clusters
	NEWS	22	FEB	25	USGENE enhanced with patent family and legal status display data from INPADOCDB
	NEWS		MAR		INPADOCDB and INPAFAMDB enhanced with new display formats
	NEWS	24	MAR	11	EPFULL backfile enhanced with additional full-text applications and grants
	NEWS		MAR		ESBIOBASE reloaded and enhanced
	NEWS	26	MAR	20	CAS databases on STN enhanced with new super role
	NEWS	27	MAR	23	for nanomaterial substances CA/CAplus enhanced with more than 250,000 patent equivalents from China

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability

NEWS LOGIN Welcome Banner and News Items

NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 07:39:37 ON 24 MAR 2009

=> fil reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.22 0.22

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 07:40:01 ON 24 MAR 2009 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2009 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 22 MAR 2009 HIGHEST RN 1125392-64-4 DICTIONARY FILE UPDATES: 22 MAR 2009 HIGHEST RN 1125392-64-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

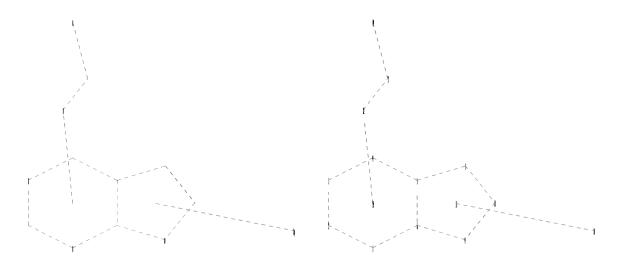
Please note that search-term pricing does apply when conducting ${\tt SmartSELECT}$ searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\Stnexp\Queries\QUERIES\10596129.str



chain nodes:
10 12 13 14
ring nodes:

ring nodes : 1 2 3 4 5 6 7 8 9

chain bonds: 12-13 13-14 ring bonds:

 $1-2^{-}$ 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 12-13 13-14

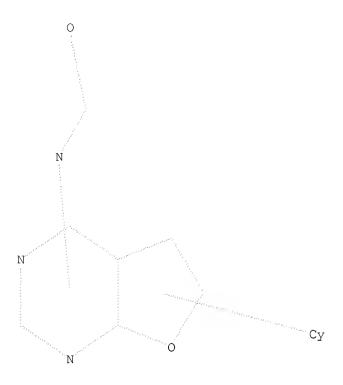
Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 07:40:39 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1653 TO ITERATE

100.0% PROCESSED 1653 ITERATIONS 2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 30621 TO 35499

PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 07:40:42 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 33311 TO ITERATE

100.0% PROCESSED 33311 ITERATIONS 65 ANSWERS

SEARCH TIME: 00.00.01

L3 65 SEA SSS FUL L1

=> s 13 and caplus/lc 64233141 CAPLUS/LC

L4 48 L3 AND CAPLUS/LC

 \Rightarrow s 13 and 14

L5 48 L3 AND L4

=> s 13 not 14

=> d 16 1-17

ANSWER 1 OF 17 REGISTRY COPYRIGHT 2009 ACS on STN 888771-82-8 REGISTRY Entered SIN: 28 Jun 2006 INDEX NAME NOT YET ASSIGNED C23 H15 N3 O2 S Chemical Library Supplier: Princeton BioMolecular Research, Inc. STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ANSWER 2 OF 17 REGISTRY COPYRIGHT 2009 ACS on STN 873680-12-7 REGISTRY
Entered STN: 07 Feb 2006
INDEX NAME NOT YET ASSIGNED
C28 H16 Br N3 04
Chemical Library
Supplier: Otava
STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 ANSWER 5 OF 17 REGISTRY COPYRIGHT 2009 ACS ON STN RN 508186-43-4 REGISTRY ED Entered STN: 01 May 2003 CN Bensenacetandide, N-(6-[1,1'-biphenyl]-4-yl-5-phenylfuro[2,3-d]pyrimidin-4-yl)-0-phenyl- (CA INDEX NAME) Chemical Library Supplier: Interchim LC STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

Br O NH Ph

L6 RN ED CN

ANSMER 9 OF 17 REGISTRY COPYRIGHT 2009 ACS on STN 461431-13-0 REGISTRY
Entered STN: 15 Oct 2002
Benzamide, 2-chloro-N-(5,6-diphenylfuro[2,3-d]pyrimidin-4-yl)- (CA INDEX NAME)
C25 H16 Cl N3 O2
Chemical Library
Supplier: Ambinter
STN Files: CHEMCATS

MF SR

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ANSWER 11 OF 17 REGISTRY COPYRIGHT 2009 ACS on STN 441738-70-1 REGISTRY Entered STN: 01 Aug 2002 2-Furancarboxamide, N-(5,6-diphenylfuro[2,3-d]pyrimidin-4-yl)- (CA INDEX NAME) C23 H15 N3 O3 Chemical Library Supplier: TimTec, Inc. STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ANSWER 10 OF 17 REGISTRY COPYRIGHT 2009 ACS on STN 442534-24-9 REGISTRY Entered STN: 05 Ang 2002 Acetamide, N-(5,6-diphenylfuro[2,3-d]pyrimidin-4-y1)-2-phenoxy- (CA L6 RN ED CN INDEX

EX
NAME)
C26 H19 N3 O3
Chemical Library
Supplier: Interchim
STN Files: CHEMCATS MF SR

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ANSWER 12 OF 17 REGISTRY COPYRIGHT 2009 ACS on STN 441738-69-8 REGISTRY Entered STN: 01 Aug 2002
Benzamide, N-(5,6-diphenylfuro[2,3-d]pyrimidin-4-y1)-4-methyl- (CA INDEX NAME)
C26 H19 N3 O2
Chemical Library
Supplier: PHARMEKS Ltd.
STN Files: CHEMCATS

L6 RN ED CN

ANSWER 13 OF 17 REGISTRY COPYRIGHT 2009 ACS on STN 441738-68-7 REGISTRY Entered STN: 01 Aug 2002 Propanamide, N-(5,6-diphenylfuro[2,3-d]pyrimidin-4-yl)-2,2-dimethyl- (CA INDEX NAME) C23 H21 N3 02 Chemical Library Supplier: Ambinter STN Files: CHEMCATS

MF SR

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ANSWER 15 OF 17 REGISTRY COPYRIGHT 2009 ACS on STN 426216-41-3 REGISTRY Entered STN: 06 Jun 2002 Benzamide, N=[6-(4-chlorophenyl)-5-phenylfuro[2,3-d]pyrimidin-4-yl]-4-nitro- (CA INDEX NAME) C25 H15 C1 N4 O4 Chemical Library Supplier: ChemBridge Corporation STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ANSWER 14 OF 17 REGISTRY COPYRIGHT 2009 ACS on STN 434291-48-2 REGISTRY Entered STN: 27 Jun 2002 Benzamide, N-(5,6-diphenylfuro[2,3-d]pyrimidin-4-yl)-4-fluoro- (CA INDEX L6 RN ED CN Benzamide, N. C., NAME) C25 H16 F N3 O2 Chemical Library Supplier: Interchim STN Files: CHEMCATS

MF SR

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ANSWER 16 OF 17 REGISTRY COPYRIGHT 2009 ACS on STN 339060-72-9 REGISTRY
Entered STN: 31 May 2001
Benzamide, N-(5,6-diphenylfuro[2,3-d]pyrimidin-4-yl)-3-nitro- (CA INDEX NAME)
C25 H16 N4 O4
Chemical Library
Supplier: Ambinter
STN Files: CHEMCATS

MF SR

LC

02N

```
L6 ANSWER 17 OF 17 REGISTRY COPYRIGHT 2009 ACS on STN
RN 324066-73-1 REGISTRY
DE Entered STN: 26 Feb 2001
CN Acetamide, N-(5,6-d-diphenylfuro[2,3-d]pyrimidin-4-yl)- (CA INDEX NAME)
FF C20 H15 N3 OZ
SR Chemical Library
Supplier: Oak Samples Ltd.
LC STN Files: CHEMCATS
```

=> fil caplus
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 227.04 227.26

FILE 'CAPLUS' ENTERED AT 07:41:56 ON 24 MAR 2009
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Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 07:39:37 ON 24 MAR 2009)

FILE 'REGISTRY' ENTERED AT 07:40:01 ON 24 MAR 2009
L1 STRUCTURE UPLOADED
L2 2 S L1
L3 65 S L1 FULL

L4 48 S L3 AND CAPLUS/LC L5 48 S L3 AND L4

L6 17 S L3 NOT L4

FILE 'CAPLUS' ENTERED AT 07:41:56 ON 24 MAR 2009

=> s 14 L7 13 L4

=> d ibib abs hitstr 1-13

```
L7 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2008:674351 CAPLUS DOCUMENT NUMBER: 149:7030
                                                                    Single nucleotide polymorphisms in the human genome associated with an increased susceptibility to type 2
  TITLE:
                                                                   Steinthorsdottir, Valgerdur; Thorleifsson, Gudmar Decode Genetics Ehf., Iceland FCT Int. Appl., 184pp.
CODEN: PIXXD2
  INVENTOR(S):
  PATENT ASSIGNEE(S):
  SOURCE:
 DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
                                                                    English
              DATENT NO
                                                                    KIND DATE
                                                                                                                      APPLICATION NO
                                                                                                                                                                                   DATE
WO 2008065682 A2 20080605 WO 2007-IS20 2007Il30
WO 2008065682 A2 20080605 WO 2007-IS20 2007Il30
WI AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CE, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, II, IN, IS, JP, KE, KG, FM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, NN, MM, MX, MY, MZ, NN, NS, NI, NO, NZ, CM, PG, FH, FL, FT, EO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, ID, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LY, NC, MT, NL, PL, FT, FO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MM, ZZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, CA
PRIORITY APPLN. INFO::
                                                                                                                     IS 2007-8630
                                                                                                                                                                        A 20070404
              Association anal. has shown that certain genetic variants are
 susceptibility
variants for Type 2 diabetes. The invention relates to diagnostic
applications of such susceptibility variants, including methods of
 determining
               increased susceptibility to Type 2 diabetes, as well as methods of
 determining
               mining decreased susceptibility to Type 2 diabetes in an individual. The invention further relates to kits for determining a susceptibility to
              2 diabetes based on the variants described herein. 744255-23-0, GW 784752x RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (SNPs affecting response to; SNPs in human genome associated with increased susceptibility to type 2 diabetes) 744255-23-0 CAPLUS
               Cyclopentanecarboxamide, N-[6-(3-pyridinyl)furo[2,3-d]pyrimidin-4-yl]-(CA INDEX NAME)
```

Radhey S.
Department of Biochemistry and Biomedical Sciences,
McMaster University, Hamilton, CN, L8N 3Z5, Can.
Protein Journal (2007), 26(3), 203-212
CODEN: PJROAH; ISSN: 1572-3887
Springer
Townal CORPORATE SOURCE: CODEN: PJROAR; ISSN: 1572-3887

PUBLISHER: Springer

DOCUMENT TYPE: Journal

LANGGAGE: English

AB The enzyme adenosine kinase (AK) plays a key role in the regulation of intracellular and extracellular concentration of adenosine (Ado), which

bits

bits concentration-dependent

entration-dependent
manner in a low micromolar range (IC50 = 0.38.apprx.1.98 µM) were
further studied. Kinetic and structural studies on these compds. provide
evidence that inhibition of AK by these compds. was competitive with
respect to Ado and non-competitive for ATP. All of these compds. also
inhibited uptake of Ado and its metabolism in cultured mammalian cells at
comparable conons, indicating their efficient cellular penetrability.
These AK inhibitors, whose chemical structures differ significantly from

previously known inhibitors, provide useful lead compds. for identification of more potent but less toxic AK inhibitors that may prove useful for therapeutic purposes.
441738-67-6

441/38-6/-6
RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (non-nucleoside inhibitors of adenosine kinase)
441/38-67-6 CAPLUS
Propanamide, N-(5,6-diphenylfuro[2,3-d]pyrimidin-4-yl)- (CA INDEX NAME)

all

L7 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L7 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:566990 CAPLUS DOCUMENT NUMBER: 147:180515

CDK2-Cyclin

TITLE: Virtual Screening Studies to Design Potent

A Inhibitors AUTHOR(S):

A Inhibitors
Vadivelan, S.; Sinha, Barij Nayan; Irudayam, Sheeba
Jem; Jaqarlapudi, Sarma A. R. P.
GVK Biosciences Pvt. Ltd., Hyderabad, 500037, India
Journal of Chemical Information and Modeling (2007),
47(4), 1526-1535
CODEN: JCISD8; ISSN: 1549-9596
American Chemical Society
Journal CORPORATE SOURCE:

CODEN: JCISDE; ISSN: 1049-3030

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGGAGE: English

AB The cell division cycle is controlled by cyclin-dependent kinases (CDK),

which consist of a catalytic subunit (CDK1-CDK8) and a regulatory subunit (cyclin A-H). Pharmacophore anal, indicates that the best inhibitor model

consists of (1) two hydrogen bond acceptors, (2) one hydrogen bond donor, and (3) one hydroghobic feature. The HypoRefine pharmacophore model gave an enrichment factor of 1.31 and goodness of fit score of 0.76. Docking studies were carried out to explore the structural requirements for the CDK2-cyclin A inhibitors and to construct highly predictive models for

design of new inhibitors. Docking studies demonstrate the important role of hydrogen bond and hydrophobic interactions in determining the inhibitor-receptor binding affinity. The validated pharmacophore model

further used for retrieving the most active hits/lead from a virtual library of mola. Subsequently, docking studies were performed on the hits, and novel series of potent leads were suggested based on the interaction energy between CDK2-cyclin A and the putative inhibitors. 744255-18-3 744255-23-0 [PAC (Pharmacological activity); PRP (Properties); BIOL (Biological

study)

(virtual screening studies to design CDK2-cyclin A inhibitors)
744255-18-3 CAPLUS
Cyclopropanecarboxamide, N-[6-(3-pyridinyl)furo[2,3-d]pyrimidin-4-yl](CA INDEX NAME)

744255-23-0 CAPLUS

tanecarboxamide, N-[6-(3-pyridiny1)furo[2,3-d]pyrimidin-4-y1]-Cyclopentanecar (CA INDEX NAME)

L7 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:31282 CAPLUS
DOCUMENT NUMBER: 144:128992
TITLE: Preparation of furanopyrimidines for treatment of protein tyrosine kinase-associated diseases
INVENTOR(S): Buchanan, John L.; Buckner, William H.; Burkitt,

A.; Dimauro, Erin F.; Farthing, Christopher N.; Frenkel, Alexander David; Harrison, Martin J.; Kayser,

Frank; Liu, Jinqian; Lively, Sarah E.; Marshall, Teresa L.; Mcgowan, David C.; Sharma, Rajiv; Shuttleworth, Stephen Joseph; Zhu, Xiaotian Amgen Inc., USA PTI Int. Appl., 154 pp. CODEN: PIXXD2

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT NO.											LICAT							
												2005-1							
	WO	2006	0046	58		A3 20060420			0420										
		W:								BA,	BB	, BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
			CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ	, EC,	EE,	EG,	ES,	FI,	GB,	GD,	
			GE,	GH,	GM,	HR,	ΗU,	ID,	IL,	IN,	IS	, JP,	KE,	KG,	KM,	KP,	KR,	KZ,	
			LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD	, MG,	MK,	MN,	MW,	MX,	MZ,	NA,	
			NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT	, RO,	RU,	SC,	SD,	SE,	SG,	SK,	
			SL,	SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ	, UA,	UG,	US,	UZ,	VC,	VN,	YU,	
				ZM,															
		RW:										, ES,							
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												, NE,							
								SD,	SL,	SZ,	TZ	, UG,	ZM,	ZW,	AM,	AZ,	BY,	KG,	
						TJ,													
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										US 2005-169312 EP 2005-763716									
	EP																		
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		2222										, RO,							
												2007-							
						A		2007	1109			2006-							
PRIO.	RIT:	APP:	LN.	INFO	. :						US	2004-	5838	98P		P 2	0040	629	
											US	2005-	6599	47P	1	P 2	0050	308	
											WO	2005-	US22	727	1	W 2	0050	629	

OTHER SOURCE(S): CASREACT 144:128992; MARPAT 144:128992 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 51 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L7 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

The title compds. I [X = NR2R3, OR2, SR2; Y = H, halo, haloalkyl, etc.; Z = O, SOp (p = 0-2); R1 = (un)substituted alkenyl, alkynyl, aryl, etc.; AB

тт

= H, F, Cl, Br, I, CF3, alkyl, haloalkyl, alkoxy; R2 = (un)substituted alkyl, cycloalkyl, aralkyl, etc.; R3 = H, CF3, alkyl], useful for

treating and/or preventing protein tyrosine kinase-associated disorders, were

prepared

E.g., a multi-step synthesis of II, starting from
5-phenylfuro[2], a-d]pyrimidin-4(3H)-one, was given. The exemplified compds. I were tested and found to exhibit IC50 values of at least <10 µM in any one of the described assays (e.g., LCK kinase assay, RCKI enzymic assay, etc.). The invention also includes pharmaceutical compns. comprising a compound I, methods of treating various diseases and conditions

itions
in a mammal, including inflammation, inhibition of T cell activation, proliferation, arthritis, organ transplant, ischemic or reperfusion injury, myocardial infarction, stroke, multiple sclerosis, inflammatory bowel disease, Crohn's disease, lupus, hypersensitivity, type 1 diabetes, psorlasis, dermatitis, Hashimoto's thyroiditis, Sjogren's syndrome, autoimmune hyperthyroidism, Addison's disease, autoimmune diseases, glomerulonephritis, allergic diseases, asthma, hayfever, eczema, cancer, colon carcinoma and thymoma, comprising administering to the mammal a therapeutically effective amount of a compound I. The invention also tes

to methods of manufacturing medicaments, which comprise one or more

to methods of manufacturing medicaments, which comprise one of more composits.

IT 873306-45-7P
RL: BCT (Reactant); SPN (Synthetic preparation); FREP (Preparation); RACT (Reactant or reagent)
(preparation of furanopyrimidines for treatment of protein tyrosine kinase-associated diseases)

RN 873306-45-7 CAPLUS
CN Imidodicarbonic acid, N-(5-bromo-6-phenylfuro[2,3-d]pyrimidin-4-yl)-,
C,C'-bis(1,1-dimethylethyl) ester (CA INDEX NAME)

ANSWER 5 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
OH, amino, CO2H, CONH2, CSNH2, amidine, alkyl, haloalkyl, alkoxy,
halobenzyloxy, etc.; R2 = H, halo, cyano, NO2, OH, amino, CO2H, CONH2,
CSNH2, alkyl, haloalkyl, Ph, halophenyl, etc.; R3 = H, alkyl, haloalkyl,
alkoxy, alkylamino, alkylthio, alkylamide, acyloxy, acylamino, haloalkyl,
alkoxy, halophenyl, etc.; A = benzene, pyrrole, furan, thiophene,
imidazole, oxazole, thiazole, triazole, pyrazole, pyrazine, pyridazine,
pyrimiddine, cyclohexyl, piperiddine, morpholine ringl, were prepd. Thus,
3-methoxyphenol was stirzed 10 min. with NaH in THF;
4-chloro-5-methyl-6-(4-chlorophenyl)furo[2,3-d]pyrimiddine (prepn. given)
was added followed by stirring for 2 h at room temp. to give 49%
4-(3-methoxyphenoxy)-5-methyl-6-(4-chlorophenyl)furo[2,3-d]pyrimidine.
The latter inhibited DDR2 tyrosine kinase with IC50 <100 µM.
866182-51-59 866182-59-49 866182-60-7P
866182-61-69 866182-62-99 866182-63-90
866182-64-1P 866182-65-2P 866182-66-3P
866182-64-1P 866182-65-2P 866182-66-3P
866182-64-1P 866182-65-2P 866182-65-3P
RIL PAC (Pharmacological activity); SFN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREF (Preparation); USES
(Uses)

(Open action of furopyrimidines as inhibitors of DDR2 tyrosine kinase) 866182-58-3 CAPLUS Acetamide, N-[6-(4-chlorophenyl)furo[2,3-d]pyrimidin-4-yl]- (CA INDEX NAME)

866182-59-4 CAPLUS
Benzamide, N-[6-(4-chlorophenyl)furo[2,3-d]pyrimidin-4-yl]-4-methoxy-INDEX NAME)

L7 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2005:1075801 CAPLUS

143:367316 DOCUMENT NUMBER:

Preparation of furo[2,3-d]pyrimidines as inhibitors TITLE:

DDR2 (discoidin domain receptor 2) tyrosine kinase. Yang, Beom-Seok; Yang, Kyung-Mi; Kim, Hae-Jong; Park, In-Sung; Park, Sung-Dae; Lee, Jang-Hyuk; Kwon, Byuk-Man; Woo, Byoung-Young Korea Institute of Science and Technology, S. Korea; Jeil Pharmaceutical Co., Ltd. PCT Int. Appl., 106 pp. CODEN: PIXXD2 Patent INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English

		ENT I						DATE		- 1	APPL:	ICAT	ION !	NO.				
							-							-				
	WO	2005	0928	96		A1		20051006		WO 2005-KR19						200501		
		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN.	CO.	CR.	CU.	CZ.	DE,	DK.	DM.	DZ.	EC.	EE.	EG.	ES.	FI.	GB.	GD.
								ID,										
								LV,										
								PL,										
			SI,	10,	IM,	IN,	IK,	TT,	14,	UA,	uG,	05,	02,	vc,	VIV,	10,	ZH	ZIVI,
ZW																		
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
			AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
			EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,
			RO,	SE,	SI,	SK,	TR,	BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,
			MR,	NE.	SN,	TD.	TG											
	KR	2005	0914	62		A		2005	0915		KR 21	004-	1692	2		2	0040	312
	KR	2007	0126	48		A		2007	0126		KR 2	006-	7185	8.8		2	0060	911
		8839						2009					, 200			-		,,,,
DD TO		APP				DI		2003	OLII		KR 21	20.4	1000	_			0040	210
PRIO	KIII	MPP.	DIV.	TMFO							NR 2	JU 4-	1692	~		M. 2	0040	212
										- 1	WO 21	105-	KR19		1	W 2	0050	105

CASREACT 143:367316; MARPAT 143:367316 OTHER SOURCE(S):

Title compds. [I; Z = O, S, NH; n = 0-4; R = H, halo, cyano, NO2, OH, amino, CO2H, CONH2, CSNH2, amidine, alkyl, haloalkyl, alkoxy, alkylamino, alkylamide, acylamino, acyloxy, etc.; Rl = H, halo, cyano,

ANSWER 5 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) Benzamide, N=[6-(4-chlorophenyl)-5-methylfuro[2,3-d]pyrimidin-4-yl]-4-methoxy-N-(4-methoxybenzoyl)- (CA INDEX NAME)

866182-61-8 CAPLUS 1,3-Benzodioxole-5-carboxamide, N-[6-(4-chlorophenyl)-5-methylfuro[2,3-d]pyrimidin-4-yl]- (CA INDEX NAME)

INDEX NAME)

866182-60-7 CAPLUS

RN

ANSWER 5 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) 866182-63-0 CAPLUS Benzamide, N-[6-(4-chlorophenyl)-5-ethylfuro[2,3-d]pyrimidin-4-yl]-4-methoxy- (CA INDEX NAME)

866182-64-1 CAPLUS
Benzamide, N-[6-(4-chlorophenyl)-5-ethylfuro[2,3-d]pyrimidin-4-yl]-4-hydroxy- (CA INDEX NAME)

866182-65-2 CAPLUS Benzamide, N. [6-(4-fluoropheny1)-5-methylfuro[2,3-d]pyrimidin-4-y1]-4-methoxy- (CA INDEX NAME)

L7 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:588992 CAPLUS
DOCUMENT NUMBER: 143:115566
TITLE: Preparation of N-(furo[2,3-d]pyrimidin-4-y1) amides
as

INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:

GSK-3 inhibitors Nakano, Masato; Maeda, Yutaka Smithkline Beecham Corporation, USA PCT Int. Appl., 47 pp. CODEN: PIXXD2 Patent English

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT NO.					KIN	D	DATE			APPL	ICAT:	ION	NO.				
							-									-		
	WO	2005	0615	16		A1		2005	0707		WO 2	004-1	US38	307		2004111		
		₩:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
			TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
			AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
			EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	IT,	LU,	MC,	NL,	PL,	PT,	RO,
			SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,
			NE,	SN,	TD,	TG												
	EP	1689	753			A1 20060816					EP 2	004-		20041117				
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								RO,										
	JP	2007.	5131	55		T		2007	0524		JP 2	006-	5426	02		2	0041	117
US 20070088031				A1		2007	0419		US 2	006-	5961	29		20060601				
PRIORITY APPLN. INFO.:					. :						US 2	003-	5268	11P	1	P 2	0031	204
											wo o	004-1	1020	207		a 2	00.41	117

OTHER SOURCE(S): CASREACT 143:115566; MARPAT 143:115566

The title compds. I [U = CH, N; Rl = alkyl, cycloalkyl, CH2CH2SMe; CH2(cycloalkyl), Ph optionally substituted by halo or nitro, morpholino, pyrrolidino; when U = CH, R2 = H, halo, alkyl, CMe; and when U = N, R2 H] which are inhibitors of the kinases, such as GSK-3, were prepared

ANSWER 5 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

866182-66-3 CAPLUS Acetamide, N-[6-(4-methoxyphenyl)furo[2,3-d]pyrimidin-4-yl]- (CA INDEX NAME)

866182-74-3 CAPLUS Benzamide, N-(6-(4-chlorophenyl)-5-methylfuro{2,3-d]pyrimidin-4-yl]-3-hydroxy- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 6 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) multi-step synthesis of II, starting from malononitrile and α-bromo-p-methoxyacetophenone, was given. The compd. II showed plc50 of 7.0-8.0 against GSK-3.
744255-03-6P 744255-04-7P 744255-06-8P 744255-09-P 744255-10-9P 744255-10-9P 744255-10-9P 744255-10-9P 744255-11-6P 744255-11-9P 744255-13-9P 744255-14-9P 744255-13-9P 744255-12-9P 744255-12-9P 744255-12-9P 744255-20-9P 744255-20-9P

(Preparation -- inhibitors)
RN 744255-03-6 CAPLUS
CN Hexanamide, N-[6-(4-methoxyphenyl)furo[2,3-d]pyrimidin-4-yl]- (CA INDEX NAME)

744255-04-7 CAPLUS Propanamide, N-(6-(4-methoxyphenyl)furo[2,3-d]pyrimidin-4-yl]-3-(methylthio)- (CA INDEX NAME)

 $744255-05-8 \quad CAPLUS \\ Propanamide, \quad N-\{6-(4-methoxypheny1) \\ furo [2,3-d] \\ pyrimidin-4-y1]-2-methyl-(CA INDEX NAME)$

(Continued)

RN 744255-06-9 CAPLUS CN Cyclopropanecarboxamide, N-[6-(4-methoxyphenyl)furo[2,3-d]pyrimidin-4-yl]-(CA INDEX NAME)

RN 744255-07-0 CAPLUS
CN Cyclopentanecarboxamide,
N-[6-(4-methoxyphenyl)func[2,3-d]pyrimidin-4-yl](CA INDEX NAME)

RN 744255-08-1 CAPLUS CN Cyclopentaneacetamide, N-[6-(4-methoxyphenyl)furc[2,3-d]pyrimidin-4-yl]-(CA INDEX NAME)

L7 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 744255-11-6 CAPLUS
CN 1-Fyrrolidinecarboxamide,
N-[6-(4-methoxyphenyl)furo[2,3-d]pyrimidin-4-y1](CA INDEX NAME)

RN 744255-12-7 CAPLUS
CN Benzamide, 3-fluoro-N-[6-(4-methoxyphenyl)furo[2,3-d]pyrimidin-4-yl](CA INDEX NAME)

RN 744255-13-8 CAPLUS
CN 2-Furancarboxamide, N-[6-(4-methoxyphenyl)furo[2,3-d]pyrimidin-4-yl](CA INDEX NAME)

CH2

NH

OMe

RN 744255-09-2 CAPLUS CN Cyclohexanecarboxamide, N- [6-(4-methoxyphenyl) funo[2,3-d]pyrimidin-4-yl]-(CA INDEX NAME)

RN 744255-10-5 CAPLUS
CN 4-Morpholinecarboxamide,
N-[6-(4-methoxyphenyl)furo[2,3-d]pyrimidin-4-yl](CA INDEX NAME)

L7 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 744255-14-9 CAPLUS CN Cyclopropanecarboxamide, N-(6-phenylfuro[2,3-d]pyrimidin-4-yl)- (CA INDEX NAME)

RN 744255-15-0 CAPLUS
CN Gyclopropanecarboxamide,
N-[6-(4-chlorophenyl)furo[2,3-d]pyrimidin-4-yl](CA INDEX NAME)

RN 744255-16-1 CAPLUS CN Cyclopropanecarboxamide, N-[6-(4-methylphenyl)fuxo[2,3-d]pyrimidin-4-yl]-(CA INDEX NAME) RN 744255-17-2 CAPLUS
CN Cyclopropanecarboxamide,
N-[6-(4-fluorophenyl)furo[2,3-d]pyrimidin-4-yl](CA INDEX NAME)

RN 744255-18-3 CAPLUS
CN Cyclopropanecarboxamide, N-[6-(3-pyridinyl)furo[2,3-d]pyrimidin-4-yl](CA INDEX NAME)

RN 744255-19-4 CAPLUS
CN Cyclopentanecarboxamide, N-(6-phenylfuro[2,3-d]pyrimidin-4-yl)- (CA INDEX
NAME)

L7 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 744255-23-0 CAPLUS
CN Cyclopentanecarboxamide, N-[6-(3-pyridiny1)furo[2,3-d]pyrimidin-4-y1](CA INDEX NAME)

RN 857663-86-6 CAPLUS
CN Benzamide, N-[6-(4-methoxyphenyl)furo[2,3-d]pyrimidin-4-yl]-3-nitro- (CA INDEX NAME)

RN 857663-87-7 CAPLUS
CN Benzamide, N-[6-(4-methoxyphenyl)furo[2,3-d]pyrimidin-4-yl]-4-nitro- (CA INDEX NAME)

L7 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 744255-20-7 CAPLUS
CN Cyclopentanecarboxamide,
N-[6-(4-chlorophenyl)furo[2,3-d]pyrimidin-4-yl](CA INDEX NAME)

RN 744255-21-8 CAPLUS CN Cyclopentanecarboxamide, N-[6-(4-methylphenyl)furo[2,3-d]pyrimidin-4-yl]-(CA INDEX NAME)

RN 744255-22-9 CAPLUS
CN Cyclopentanecarboxamide,
N-[6-(4-floorophenyl)furo[2,3-d]pyrimidin-4-yl](CA INDEX NAME)

L7 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L7 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
141:199465
141:199465
AUTHOR(S):
AUTHOR(S):

Maeda, Yutaka; Nakano, Masato; Sato, Bideyuki; Miyazaki, Yasushi; Schweiker, Stephanie L.; Smith, Jeffery L.; Truesdale, Anne T.
Chemistry Department, GlaxoSmithKline K.K., Tsukuba Research Laboratories, Tsukuba, Ibaraki, 300-4247, Japan
Bioorganie & Medicinal Chemistry Letters (2004), 14(15), 3907-3911
CODEN: BMCLES; ISSN: 0960-894X
Elsevier Science B.V.
DOCUMENT TYPE:
LANGUAGE: English
CTHER SOURCE(S):
CASREACT 141:199465 Modeling studies of a furo[2,3-d]pyrimidine GSK-3 hit compound (Uses)
(acylamino arylfuropyrimidines as glycogen synthase kinase-3
inhibitors)
744255-03-6 CAPLUS
Hexanamide, N-[6-(4-methoxyphenyl)furo[2,3-d]pyrimidin-4-yl]- (CA INDEX ANSWER 7 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) RN 744255-07-0 CAPLUS CN Cyclopentanecarboxamide, N-[6-(4-methoxyphenyl)furo[2,3-d]pyrimidin-4-yl]-(CA INDEX NAME) 744255-08-1 CAPLUS Cyclopentaneacetamide, N-[6-(4-methoxyphenyl)furo[2,3-d]pyrimidin-4-yl]-(CA INDEX NAME)

RN 744255-09-2 CAPLUS CN Cyclohexanecarboxamide, N-[6-(4-methoxyphenyl)furo[2,3-d]pyrimidin-4-yl]-(CA INDEX NAME) RN 744255-10-5 CAPLUS
CN 4-Morpholinecarboxamide,
N-[6-(4-methoxyphenyl)furo[2,3-d]pyrimidin-4-yl](CA INDEX NAME)

RN 744255-11-6 CAPLUS
CN 1-Pyrrolidinecarboxamide,
N-[6-(4-methoxyphenyl)furo[2,3-d]pyrimidin-4-yl](CA INDEX NAME)

NN CMe

NN CMe

NN CMe

NN CMe

L7 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) Me- (CH2)4-744255-04-7 CAPLUS
Propanamide, N-[6-(4-methoxyphenyl)furo[2,3-d]pyrimidin-4-yl]-3-(methylthio)- (CA INDEX NAME) Mes-CH2-CH2 744255-05-8 CAPLUS Propanamide, N-[6-(4-methoxyphenyl)furo[2,3-d]pyrimidin-4-yl]-2-methyl-(CA INDEX NAME) RN 744255-06-9 CAPLUS
CN Cyclopropanecarboxamide,
N-[6-(4-methoxyphenyl)furc[2,3-d]pyrimidin-4-yl](CA INDEX NAME) ANSWER 7 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) RN 744255-10-5 CAPLUS
CN 4-Morpholinecarboxamide,
N-[6-(4-methoxyphenyl)furc[2,3-d]pyrimidin-4-yl](CA INDEX NAME)

L7 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN Benzamide, 3-fluoro-N-[6-(4-methoxyphenyl)furo[2,3-d]pyrimidin-4-yl](CA
INDEX NAME)

NH OM

RN 744255-13-0 CAPLUS CN 2-Furancarboxamide, N-[6-(4-methoxyphenyl)furo[2,3-d]pyrimidin-4-yl]-(CA

NH CMe

RN 744255-14-9 CAPLUS
CN Cyclopropanecarboxamide, N-(6-phenylfuro[2,3-d]pyrimidin-4-y1)- (CA INDEX
NAME)

C O NH Ph

L7 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) (CA INDEX NAME)

RN 744255-19-4 CAPLUS
CN Cyclopentanecarboxamide, N-(6-phenylfuro[2,3-d]pyrimidin-4-y1)- (CA INDEX
NAME)

NH Ph

RN 744255-20-7 CAPLUS
CN Cyclopentanecarboxamide,
N-[6-(4-chlorophenyl)furo[2,3-d]pyrimidin-4-yl](CA INDEX NAME)

NH Cl

RN 744255-21-8 CAPLUS
CN Cyclopentanecarboxamide,
N-[6-(4-methylphenyl)furo[2,3-d]pyrimidin-4-yl]-

L7 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 744255-15-0 CAPLUS
CN Cyclopropanecarboxamide,
N-[6-(4-chlorophenyl)furo[2,3-d]pyrimidin-4-yl](CA INDEX NAME)

NH C

RN 744255-16-1 CAPLUS
CN Cyclopropanecarboxamide,
N-[6-(4-methylphenyl)furo[2,3-d]pyrimidin-4-yl](CA INDEX NAME)

NH Me

RN 744255-17-2 CAPLUS CN Cyclopropanecarboxamide, N-[6-(4-fluorophenyl)furo[2,3-d]pyrimidin-4-yl]-(CA INDEX NAME)

NH F

RN 744255-18-3 CAPLUS
CN Cyclopropanecarboxamide, N-[6-(3-pyridinyl)furo[2,3-d]pyrimidin-4-yl]-

L7 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) (CA INDEX NAME)

NH Me

NH NH

RN 744255-23-0 CAPLUS CN Cyclopentanecarboxamide, N-[6-(3-pyridinyl)furo[2,3-d]pyrimidin-4-yl]-(CA INDEX NRM)

NH NH

L7 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2009 ACS ON STN ACCESSION NUMBER: 2003:950055 CAPLUS DOCUMENT NUMBER: 140:5065

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

140:5065
Preparation of pyrazolopyrimidine and furopyrimidine
protein kinase inhibitors and their therapeutic use
Hirst, Gavin C.; Arnold, Lee D.; Burchat, Andrew;
Wishart, Neil; Calderwood, David; Wada, Carol K.;
Michaelides, Michael R.; Ji, Zhiqin; Muckey, Melanie TITLE: INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

U.S. Pat. Appl. Publ., 44 pp. CODEN: USXXCO Patent DOCUMENT TYPE: English

PATENT NO. KIND DATE APPLICATION NO. DATE US 20030225098 PRIORITY APPLN, INFO.: 20031204 US 2003-394965 US 2002-366422P 2003032

OTHER SOURCE(S); MARPAT 140:5065

Title compds. I [X = CR1, NR, Y = 0, alkyl, N, Q = N, NR2, O, R3 = H, OH, alkyl, alkoxy, R = H, alkyl, arylalkyl, aryl, R1 = pyrimidinyl, etc., R2 AB

piperidinyl, etc.] are prepared For instance, 5-(4-aminophenyl)furo[2,3-d]pyrimidin-4-amine (preparation given) is

5-(4-aminophenyi/illo/illo/approximate)
with 1,1-thiocarbonyldiimidarole/pyridine at 0° followed by
2-aminophenol/EDCI and heated to 55° for 8 h to give II. I are
useful as kinase inhibitors and are useful in the treatment of
hyperproliferative disorders, ulcers, etc.

II 606039-92-7

TO BEST (Reactant): RACT (Reactant or reagent)

606099-92-7

RL: KCT (Reactant), RACT (Reactant or reagent)
(pyrarolopy/imidine and furopyrimidine protein kinase inhibitors and their therapeutic use)
60609-92-7 CAPLUS

Carbamic acid, [5-[4-[[[(3-bromopheny1)amino]carbony1]amino]pheny1]-6-methylfuro[2,3-d]pyrimidin-4-y1]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L7 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

ANSWER 8 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

606099-89-2P 606099-90-5P 606099-91-6P RL; RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (pyrazolopyrimidine and furopyrimidine protein kinase inhibitors and their therapeutic use) 606099-89-2 CAPLUS Carbamic acid, [5-(4-nitrophenyl)furo[2,3-d]pyrimidin-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

606099-90-5 CAPLUS Carbamic acid, [6-bromo-5-(4-mitrophenyl)furo[2,3-d]pyrimidin-4-yl]-, 1,1-dimethylethyl ester (9C1) (CA INDEX NAME)

606099-91-6 CAPLUS
Carbamic acid, [6-bromo-5-[4-[[[(3-methylphenyl)amino]carbonyl]amino]phenyl]furo[2,3-d]pyrimidin-4-yl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

:		TENT															ATE		
1							A1 20031002												
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			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KΡ,	KR,	KΖ,	LC,	LK,	LR,	
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
			PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	
			UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW							
		RW:						MZ,											
								TM,											
								ΙE,											
								CM,											
		2003																	
						A1 20031002													
	ΑU	2003	2220	55		A1		2003	1008		AU 2	003-	2220		20030321				
	EP	1496	910			A1		2005	0119		EP 2	003-	7180	39		20030321			
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								RO,											
	JP	2005	5307	13		T		2005	1013		JP 2	003-	5778	90		2	0030	321	
1	MΧ	2004	0091	40		A		2004	1126		MX 2	004-	9140			2	0040	921	
IOR:	IT	APP	LN.	INFO	. :						US 2	002-	1030	98		A 2	0020	321	
											WO 2	003-	US89	50	1	W 2	0030	321	

WO 2003-US8950

OTHER SOURCE(S):

R SOURCE(S): MARPAT 139:272922
The present application is directed to pyrazolopyrimidine and furopyrimidine analogs which are useful as protein kinase inhibitors. These compds. may be used in treatment of hyperproliferative disorders, ulcers, etc. 60609-92-7

PR

606099-92-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(pyrazolopyrimidine and furopyrimidine protein kinase inhibitors and their therapeutic use)
606099-92-7 CAPLUS
Carbamic acid, [5-[4-[[[(3-bromophenyl)amino]carbonyl]amino]phenyl]-6-methylfuro[2,3-d]pyrimidin-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA
INDEX NAME)

606099-89-2P 606099-90-5P 606099-91-6P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (pyrazolopyrimidine and furopyrimidine protein kinase inhibitors and their therapeutic use) 606099-89-2 CAPLUS Carbamic acid, [5-(4-mitrophenyl)furo[2,3-d]pyrimidin-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

606099-90-5 CAPLUS Carbamic acid, [6-bromo-5-(4-nitrophenyl)furo[2,3-d]pyrimidin-4-yl]-, 1,1-dimethylethyl ester (9C1) (CA INDEX NAME)

606099-91-6 CAPLUS Carbanic acid, [6-bromo-5-[4-[[[(3-methylphenyl)amino]carbonyl]amino]phenyl]furo[2,3-d]pyrimidin-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L7 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
138:238197
Freparation of furo- and thienopyrimidines as TIE-2
and/or VEGFR-2 kinase inhibitors useful against
hyperpoliferative diseases
INVENTOR(S):
Adams, Jerry Leroy; Bryan, Deborah Lynne; Feng,
Yanhong; Matsunaga, Shinichiro; Maeda, Yutaka;
Myyaraki, Yasushi, Nakano, Masato; Rocher,
Jean-Philippe; Sato, Hideyuki; Semones, Marcus;

Domingos J.; Tang, Jun Glaxosmithkline K.K., Japan; Smithkline Beecham Corporation PCT Int. Appl., 265 pp. CDDEN: PIXXD2 PATENT ASSIGNEE(S):

DOCUMENT TYPE: Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PAT	PATENT NO.				KIND DATE				API	LICAT	CION	NO.		I	DATE		
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	WO	2003	0228	52		A2 20030320			0320		WO	2002-		20020910				
	WO	2003	0228	52		A3 2003		1127										
		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BE	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC	, EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN	, MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SP	, SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
			UA,	ΰĠ,	US,	UZ,	VC,	VN,	YU,	ZA,	ZN	1, 2W						
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ	, TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
			KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BC	, CH,	CY,	CZ,	DE,	DK,	EE,	ES,
			FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NI	, PT,	SE,	SK,	TR,	BF,	BJ,	CF,
												, NE,						
												2002-						
	EP	1425	284			A2 20040609				EΡ	2002-	7981	81		2	20020	910	
		R:										, IT,			NL,	SE,	MC,	PT,
												cz,						
												2003-						
											US	2004-	4890		2	20040	309	
		7427						2008										
	US	2008	0287	466		A1		2008	1120			2008-					0080	
PRIOR	RIT:	APP:	LN.	INFO	. :						US	2001-	3187	66P		P 2	20010	911
											WO	2002-	US28	650		W 2	10020	910
											US	2004-	4890	52		A3 2	10040	309

OTHER SOURCE(S): MARPAT 138:238197

L7 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L7 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

Furo- and thienopyrimidine derivs. (shown as I; variables defined below;

AB Furo- and thienopyrimidine derivs. (shown as I; variables defined below; e.g.

4-Amino-3-(4-methoxyphenyl)-2-[3-(methylsulfonylamino)phenyl]furo[2,3-d)pyrimidine), which are useful as TIE-2 (tyrosine kinase containing immunoglobin and EGF homol. domains) and/or VEGFR-2 kinase inhibitors against hyperproliferative diseases are described herein. Enzyme inhibitions by apprx.60 examples of I are included as ranges; also, 4-amino-3-[4-[12-fluoro-5-(trifluoromethyl)phenyl]aminocarbonylamino]phenyl]thieno[2,3-d]pyrimidine exhibited IC50 = 0.0018 μM in the TIE-2 fluorescence polarization kinase activity assay. For I: X is O or S; A is H, halo, C1-C6 alkyl, aryl, heteroaryl, aryl or heteroaryl substituted with ≥1 R3, heterocyclyl, -R83, -C(0)C0R4, -C(0)R84, D is H, halo, C1-C6 alkyl, aryl, heterocyclyl, aryl or heteroaryl substituted with ≥1 R3, heterocyclyl, -R83, -C(0)C0R4, -C(0)R85R6, -C(0)R4, D is H, halo, C1-C6 alkyl, aryl, heterocyclyl, -R83, -C(0)C0R4, -C(0)R8786, -C(0)R87, -NRN R*('NR'''), -N(H)RR3, -C(0)CR7, or -C(0)NRTR7. R2 is H, -OH, -NRTR7 or NH; R3 is halo, C1-C6 alkyl, C1-C6 alkoxy, -SR4, -S(0)ZR4, -NRTR7, -NRN R*('''', -N(H)RR3, -C(0)CR7, or -C(0)NRTR7. R2 is H, -OH, -NRTR7 or NH; R3 is halo, C1-C6 alkyl, C1-C6 haloalkyl, C1-C6 alkoxy, C3-C7 cycloalkoxy, C1-C6

6
haloalkoxy, aryl, aralkyl, aryloxy, heteroaryl, heterocyclyl, -CN,
-NNC(O)R4, -N(R8)BC(O)R4, -NHC(S)R4, -NNS5R6, -RNR5R6, -SR4, -S(O)2R4,
-RC(O)OR4, -C(O)OR4, -C(O)R4, -C(O)R85R6, -NNS(O)2R4, -N(S(O)2R4)S(O)2R4,
-S(O)2NR5F6, or -NHC('NH)R4. R4 is H, C1-C6 alkyl, aryl, heteroaryl,
heterocyclyl, -RR3, -NR'''R'''', or - NR'NR'''R'''', R5 is H, C1-C6

alkyl,

C3-C7 cycloalkyl, cyanoalkyl, -R'R'', aryl, aralkyl, heteroaryl,

-NHC(0)OR''', -R'NHC(0)OR''', -R'NHC(0)NR'''R'''', or -R'C(0)OR'''. R6

is

H, Cl-C6 alkyl, C3-C7 cycloalkyl, cyanoalkyl, -R'R'', aryl, aralkyl, heteroaryl, -C(O)CR''', or -R'C(O)NR'''R''', R7 is H, Cl-C6 alkyl, aryl, or -C(O)CR'''; R8 is Cl-C3 alkyl; R' is Cl-C3 alkylene; R'' is heteroalkyl r''', R''' is H, Cl-C6 alkyl, aryl, aralkyl, heteroaryl, or C3-C7 cycloalkyl; R'''' is H, Cl-C6 alkyl, aryl, heteroaryl, or C3-C7 cycloalkyl; R'''' is H, Cl-C6 alkyl, aryl, heteroaryl, or C3-C7 cycloalkyl. Although the methods of preparation are not claimed, several example prepns. of I are included and characterization data is given for .apprx. 480 examples of 1.

T 501694-28-6P, 4-Benzyloxycarbonylamino-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine

JULUS4-28-6P, 4-Benzyloxycarbonylamino-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine
RL: PRC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BloL (Biological study); PREP (Preparation); USES
(USes)

(drug candidate; preparation of furo- and thienopyrimidines as TIE-2

VEGFR-2 kinase inhibitors useful against hyperproliferative diseases)
501694-28-6 CAPLUS
Carbamic acid, [5-(4-methoxyphenyl)furo[2,3-d]pyrimidin-4-yl]-,
phenylmethyl ester (9CI) (CA INDEX NAME)

L7 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

$$\begin{array}{c|c} & N & C \\ \hline N & C \\ \hline N & C \\ \hline \end{array}$$

REFERENCE COUNT: THERE ARE 13 CITED REFERENCES AVAILABLE FOR RECORD ALL CITATIONS AVAILABLE IN THE RE

FORMAT

2-Amino-4,5-diphenyl-3-furancarbonitrile was converted to furothiazine I (X = S), which was oxidized to I (X = O). I were converted to a variety of heterocycles, e.g., II (NH2, C6H4F-4, C6H4CMe-4), III, and IV (same AB

ACCESSION NUMBER: 1999:68725 CAPLUS
DOCUMENT NUMBER: 130:237524

Synthesis of certain furopyrimidines as potential antitumor agents
AUTHOR (S): Swelam, S. A.

CORPORATE SOURCE: National Research Centre, Cairo, Egypt
SOURCE: Indian Journal of Heterocyclic Chemistry (1998),

147-150 CODEN: IJCHEI; ISSN: 0971-1627 Prof. R. S. Varma Journal English

Two of the products showed moderate antitumor activity against L1210 Two of the products showed moderate antitumor activity against Lizzu leukemia in mice.

17 221343-02-8P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); BIOL (Biological study); PREF (Preparation) (furopyrimidines as potential antitumor agents)

RN 221343-02-8 CAPLUS

CN Ethanamine, 1,1-diethoxy-N-(2-methyl-6,7-diphenyl-8H-furo[2,3-d][1,3,4]thiadiazolo[3,2-a]pyrimidin-8-ylidene)- (CA INDEX NAME)

8(2),

PUBLISHER:

DOCUMENT TYPE: LANGUAGE:

ANSWER 11 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

$$\begin{array}{c|c} Ph & S & Me \\ \hline \\ Ph & N & N \end{array}$$

REFERENCE COUNT:

FORMAT

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

compds.)
165400-69-1 CAPLUS
Acetamide, N-acetyl-N-(5,6-diphenylfuro[2,3-d]pyrimidin-4-yl)- (CA INDEX

165400-70-4 CAPLUS
Benzamide, N-(5,6-diphenylfuro[2,3-d]pyrimidin-4-yl)- (CA INDEX NAME)

L7 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1970:12673 CAPLUS
DOCUMENT NUMBER: 72:12673

ORIGINAL REFERENCE NO.: 72:2305a, 2308a

TITLE: Synthesis of furan derivatives. XLVIII. Synthesis of difurylfuro-[2,3-d] pyrimidines and difurylfuro-[3,2-d]-a-triazolopyrimidines

AUTHOR(S): Saikachi, Haruoy Matsuo, Junroy Matsuoda, Takumi
CORPORATE SOURCE: Fac. Pharm. Sci., Kyushu Univ., Fukuoka, Japan
SOURCE: Yakugaku Zasshi (1969), 89(10), 1434-9

DOCUMENT TYPE: Document Spiles
LANGUAGE: Landonomitrile, was reacted with CS2, HCONH2, and imino ethers, and 2-(ethoxymethyleneamino)-3-cyano-4,5-di(2-furyl)furan, obtained from the reaction of I and HC(OEt)3, was reacted with NH3 and H2NNH2 to obtain the corresponding difurylfuro[2,3-d]pyrimidine (III) underwent rearrangement to 4-hydrazinodifurylfuro[2,3-d]pyrimidine (III) underwent rearrangement to 4-hydrazinodifurylfuro[2,3-d]pyrimidines (IV) and V), as well as Furo[3,2-d]-s-triazolo[2,3-d]pyrimidines which upon heating in pyrimining rearranged to IV and V.

1 24386-19-4C 24386-20-7P 24386-24-1P 24386-25-P 24386-27-4P

Ri: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

N 24386-19-4 CAPLUS

CN Acetamide, N-(5,6-di-2-furanylfuro[2,3-d]pyrimidin-4-yl)- (CA INDEX NAME)

24386-20-7 CAPLUS Acetamide, N-[5,6-bis(5-nitro-2-furanyl)furo[2,3-d]pyrimidin-4-yl]- (CA INDEX NAME)

ANSWER 13 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) 24386-24-1 CAPLUS Acctamide, N-(5,6-di-2-furanyl-2-methylfuro[2,3-d]pyrimidin-4-yl)- (CA INDEX NAME)

24386-25-2 CAPLUS

RN 24386-25-2 CAPLUS CN Acetamide, N-acetyl-M-(5,6-di-2-furanyl-2-methylfuro[2,3-d]pyrimidin-4-yl)-(CA INDEX NAME)

24386-27-4 CAPLUS Acetic acid, 1,2-diacetyl-2-(5,6-di-2-furanylfuro[2,3-d]pyrimidin-4-yl)hydraride (CA INDEX NAME)

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	73.82	301.08
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -10.66

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